G1 0,S

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 15:33:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 503 TO ITERATE

100.0% PROCESSED

**503 ITERATIONS** 

13 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

8715 TO 11405

PROJECTED ANSWERS:

44 TO 476

L2

13 SEA SSS SAM L1

=> s ll sss full

FULL SEARCH INITIATED 15:33:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 10065 TO ITERATE

100.0% PROCESSED 10065 ITERATIONS

367 ANSWERS

SEARCH TIME: 00.00.01

T.2

367 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

166.94 167.15

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 15:33:59 ON 24 APR 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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04/24/2006

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FILE COVERS 1907 - 24 Apr 2006 VOL 144 ISS 18 FILE LAST UPDATED: 23 Apr 2006 (20060423/ED)

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http://www.cas.org/infopolicy.html

=> s 13

L4 7 L3

=> d ibib abs hitstr tot

INVENTOR(S):

A2 20030702

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2005:1240775 CAPLUS DOCUMENT NUMBER: 144:17202 Novel 2-amino-4-quinazolinones and 2-amino-4-quinazolinones and 2-amino-4-exoquinazolones as LXR (liver X receptor) nuclear receptor binding compounds with partial agonistic properties Deuschle, Ulrich: Loebbert, Ralph; Blume, Beatrix; Koegl, Manfred; Kremoser, Claus; Kober, Ingo; Bauer, Ulrike; Hermann, Kristina; Albers, Michael Germany U.S. Pat. Appl. Publ., 52 pp. CODEN: USXXCO Patent English

PATENT ASSIGNER(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

PAT	ENT	NO.			KIN	IND DATE				APPL	ICAT	DATE						
					A1 20051124				1	US 2	005-							
EP	1407774				A1	A1 20040414				EP 2	002-	2025		20020910				
	R:	AT,	BE,	CH,	DE,	DK,	ES,	PR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
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CA 2498655					AΑ		2004	0325		CA 2	003-	2498		20030702				
WO 2004024162				A1		2004	0325	1	10 2	003-	BP70	20030702						
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		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	PI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	
		LS.	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
		PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT.	ŤZ,	
		UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW							
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		BF.	BJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
ΑU	AU 2003296861				A1		2004	0430	1	AU 2	003-	2968						
JΡ	2006	5021	69		T2		2006	0119		JP 2	004-	5350	20030702					
WO	2004	0241	61		A1		2004	0325	1	10 2	003-	EP10	20030910					
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		GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	ΜA,	MD,	MG,	MK,	MN,	MW,	ΜX,	MZ,	NI,	NO,	NZ,	OM,	
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	TN,	
		TR,	TT,	TZ,	UA,	UG,	us,	υz,	VC,	VN,	YU,	ZA,	ZM,	ZW	•			
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
		KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
		FI,	PR,	GΒ,	GR,	ΗU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	sĸ,	TR,	
								GΑ,										
AU 2003271595					A1		2004	0430	,	AU 2	003-		20030910					
EP	EP 1536799			A1		2005	0608	1	EP 2	003-		20030910						
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,										
RITY	APP	LN.	info	. :					1	EP 2	002-	2025	5	- 2	A 2	0020	910	

ANSWER 1 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 1 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) WO 2003-EP10036 A2 20 A2 20030910

OTHER SOURCE(S): MARPAT 144:17202

The present invention relates to compds, according to the general formula (I) wherein R1, R2, R3 and/or R4, are independently from each other selected from H, halogen, hydroxy, protected hydroxy, cyano, nitro, C1 to C6 alkyl, C1 to C6 substituted alkyl, C1 to C7 aslboxtituted acyl, C1 to C7 acyl, C1 to C7 asubstituted acyl, C1 to C7 acyl, C2 to C7 asubstituted acyl, C1 to C7 acyl, C2 to C7 acyl, protected carboxy, carboxymethyl, protected carboxymethyl, protected carboxymethyl, hydroxymethyl, protected (monosubstituted) amino, carboxamide, monosubstituted) amino, carboxamide, protected (monosubstituted) amino, carboxamide, protected (monosubstituted) amino, C3 alkyl)carboxamide, protected N-(C1 to C6 alkyl)carboxamide, protected N-(C1 to C6 alkyl)carboxamide, trifluoromethyl, N-[(C1 to C6 alkyl)sulfonyl)smino, (henylsulfonyl)smino or substituted or unsubstituted phenyl, R5 is H, C1 to C8 alkyl, C1 to C8 substituted alkyl, C7 to C12 alkylphenyl or C7

C12 substituted phenylalkyl, R6 is H, C1 to C8 alkyl, C1 to C8

cituted alkyl, C7 to C12 alkylphenyl or C7 to C12 substituted phenylalkyl, R7 is H, C1 to C8 alkyl, C1 to C6 substituted alkyl, C7 to C12 alkylphenyl or

to C12 substituted phenylalkyl, and R6 and R7 may be taken together with nitrogen to form a heterocycle or substituted heterocycle or a heterocaryl or substituted heterocycle in a feet as agonists and antagonists of the LXR receptors. The invention further relates to the treatment of diseases and/or conditions through binding of said nuclear receptor by said compds. and the production of medicaments

using said compds.
IT 671211-38-4

671211-36-4
RL. PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Usea)
(novel 2-aminoquinazolinones and 2-aminooxoquinazolones as LXR nuclear
receptor binding compds. with partial agonistic properties for
treatment of diseases)
671211-38-4 CAPLUS
4-Piperidinecarboxylic acid, 1-[3,4-dihydro-4-oxo-3-(2-phenylethyl)-2quinazolinyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2005:490293 CAPLUS DOCUMENT NUMBER: 1431:43903 TITLE: Preparation 143:43903
Preparation of piperazinylquanidinoquinazolinones as melanocortin-4 receptor (MCR-4) agonists with reduced bioaccumulation
Boyce, Rustum S.; Speake, Jason D.; Phillips, James Chiron Corporation, USA; Glaxosmithkline
PCT Int. Appl., 199 pp.
CODEN: PIXXD2
Patent
English
2

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE:

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 2005051391 A1 20050609 MC 2004-US39020 20041119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BM, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GB, GM, GM, RH, UI, DI, LI, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, NA, MD, MG, MK, MN, MN, MX, MZ, NA, NI, NO, NZ, OM, FG, PM, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, SS, FI, SK, TR, BP, BJ, CP, CG, CI, CM, GA, GN, GG, GM, ML, MR, NE, SN, TD, TO
2005192297 A1 20050901 US 2004-993147 20041119 WO 2005051391 US 2005192297 PRIORITY APPLN. INFO.: A1 20050901

US 2004-993147 US 2003-523336P 20041119 P 20031119

US 2003-524492P P 20031124

OTHER SOURCE(S): MARPAT 143:43903

Title compda. [I; R1 = (substituted) aralkyl, heteroarylalkyl, aryl, heteroaryl, cycloslkyl, heterocycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R2 = H. (substituted) aralkyl, heteroarylalkyl, alkony, alkylamino, dislkylamino, aryl, heteroaryl, heterocyclyl, cycloslkyl, heterocycloalkyl, cycloslkylalkyl, alkenyl, alkynyl, alkyl; R3, R4, R6 = H, C1, F, Br. iodo, GM, NH2, cyano, NO2, (substituted) alkoxy, alkyl; R31 = H, (substituted) alkyl, aryl, alkenyl, alkynyl, cycloslkyl, heteroaryl, heterocyclylalkyl, aralkyl, heteroarylalkyl, cycloslkylalkyl; Z = (substituted) 3-oxopiperasinyl; and tautomers], were prepared Thus, title compound (II) (preparation via coupling of 6-methylpiperazin-2-one with the corresponding quinazolinylthiourea vative

valive in the presence of polymer-supported carbodiimide) showed a plasma half life of 1.9 h in mice. 817626-61-49 817627-28-49 817627-29-59 817627-0-98 817627-35-39 817627-41-19 817627-42-29 817627-43-39 817627-41-19 817627-42-29 817627-43-39

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of piperazinylguanidinoquinazolinones as melanocortin-4
receptor (MCR-4) agonists with reduced bioaccumulation)
817626-63-4 CAPLUS
1-Piperazinecarboximidamide, N-[3-[2-{2,4-dichlorophenyl}ethyl]-3,4-

dihydro-2-[(3S)-3-hydroxy-1-pyrrolidinyl]-4-oxo-7-quinazolinyl]-3-methyl-5oxo-N'-[(1S,2S,3S,SR)-2,6,6-trimethylbicyclo(3.1.1)hept-3-yl]-, (3S)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

817627-28-4 CAPLUS 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N-[(1R,2S,3S,55)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA-INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

817627-35-3 CAPLUS

NN 81/62/-3-3 CAPOUS

1. Azetidinecarboximidamide,
N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinezolinyl]-3-hydroxy-N'[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX

Absolute stereochemistry.

817627-36-4 CAPLUS
1-Piperazinecarboximidamide, 4-cyano-N-[3-[2-(2,4-dichlorophenyl)ethyl]-

3,4-dihydro-2-(4-hydroxy-1-piperidiny1)-4-oxo-7-quinezoliny1]-3,5-dimethy1-N'-((1R,2S,3S,5S)-2,6,6-trimethylbicyclo{3.1.1}hept-3-y1}-, (3R,5S)-(9CI)

(CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

817627-29-5 CAPLUS
4-Morpholinecarboximidamide, N-[3-{2-{2,4-dichlorophenyl}ethyl}-3,4-

dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinezolinyl]-2,6-dimethyl-N'-{(1R, 28,35,58)-2,6,6-trimethylbicyclo(3.1.1)hept-3-yl]-, (2R,68)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

817627-30-8 CAPLUS

A-Morpholinecarboxximidamide, N-[3-[2-(2,4-dichloropheny])ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl)-N-(IR,28,35,55)-2,6,6-trimethylbicyolo]-1,1)hept-3-yl]-(SCI) (CA INDEX

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

817627-41-1 CAPLUS

1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-2-(4,4-difluoro-1-piperidinyl)-3,4-dihydro-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

817627-42-2 CAPLUS
1-Azetidinecarboximidamide, N-[3-{2-(2,4-dichlorophenyl)ethyl}-2-{4,4-difluoro-1-piperidinyl}-3,4-dihydro-4-oxo-7-quinazolinyl}-3-hydroxy-N'[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX

817627-43-3 CAPLUS
1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-

rdro-2-[4-(hydroxymethyl)-1-piperidinyl]-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[(1E,15,35,55)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (35)-[9C1] (OX INDEX NAME)

Absolute stereochemistry.

RN 817627-44-4 CAPLUS
CN 1-Azetidinecarboximidamide,
N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro2-[4-(hydroxymethyl)-1-piperidinyl]-4-oxo-7-quinazolinyl]-3-hydroxy-N'[[1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2005:135412 CAPLUS DOCUMENT NUMBER: 142:240442

TITLE:

INVENTOR (S):

142:240442
Preparation of pyrimidinones as dipeptidyl peptidase IV (DP-1V) inhibitors
Peng, Jun; Gwaltney, Stephen L., II; Kaldor, Stephen W.; Stafford, Jeffrey A.; Wallace, Michael B.; Zhang, Zhiyuan

PATENT ASSIGNEE(S): SOURCE:

Zhiyuan Syrxx, Inc., USA Eur. Pat. Appl., 102 pp. CODEN: EPXXDW Patent English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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	EP 1506967					A1		2005		EP 2004-254864					20040812				
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HR						-								-					
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			NO.	NZ.	OM.	PG.	PH.	PL.	PT.	RO.	RU	, sc,	SD.	SE,	SG.	SK,	SL,	SY,	
												, uz,							
		RW:										, SL,							
			AZ.	BY.	KG.	KZ.	MD.	RU,	TJ.	TM,	AT.	, BE,	BG.	CH,	CY,	CZ,	DE,	DK,	
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			SI.	SK.	TR.	BF.	BJ.	CF.	CG,	CI,	CM	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	
			SN.	TD.	TG	-													
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	US	2005	0705	35		A1		2005	0331		us :	2004 -	9183	17		2	0040	812	
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	JР	2005	0604	01		A2		2005	0310		JP :	2004 -	2630	71		2	0040	813	
PRIO	RITY	API	LN.	INFO	. :						US :	2003-	4952	38P		P 2	0030	813	

WO 2004-US26265 W 20040812 OTHER SOURCE(S): MARPAT 142:240442

AB Title compds. (I; Q = CO, SO, SO2, C:NR4; Z = halo, perhaloalkyl, amino, Habte

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

5

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

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ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) cyano, (substituted) alkyl, cycloalkyl, aryl, heteroaryl, alkylcarbonyl, etc.: R2, R3 = H, halo, perhaloalkyl, amino, cyano, NO2, SH, stituted)
 (substituted)
alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aralkyl,
heterocrylalkyl, aryl, heterocycloalkyl, aralkyl,
cycloalkyl, heterocycloalkyl, aryl, heteroaryl, sralkyl, heteroaralkyl,
bicycloaryl, heterocycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl;
bicycloaryl, heterobicycloaryl; L = 0-6 atom linker; X = OH,
(substituted)
                               cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl; bicycloaryl, heterobrycloaryl; b = 0-6 atom linker; X = OH, bicycloaryl, heterobrycloaryl; b = 0-6 atom linker; X = OH, bicycloaryl, heterobrycloaryl, alkylcarbonyl, alkylthiocarbonyl, alkylsulfinyl, aryl, heteroaryl, alkoxy, aryloxy, heteroaryloxy, alkenyl, alkynyl, etc.], were prepd. Thus, 2-(5-bromo-2-choico-6-oxo-6f-pyrimidin-1-ylmethyl)benzonitrile (prepn. given), (R)-3-aminopiperidine dihydrochloride, and NaHCO3 were stirred together for 90 min. in EtOH to give 62% 2-[2-(3-aminopiperidin-1-yl)-5-bromo-6-oxo-6H-pyrimidin-1-ylmethyl)benzonitrile. I inhibited DPP-IV with Ki = 10-9 M to 10-5 M. 846842-64-49 846842-55-59 846842-53-1P 846842-64-89 846842-55-6F 846842-65-0P 846842-64-89 846842-64-49 846842-65-0P 846842-65-0P 846842-64-49 846842-65-0P 846842-65-0P 846842-65-0P 846842-70-0P 846842-70-1P 846842-85-1P 846842-95-1P 846842-95-1P 846842-95-1P 846842-95-1P 846842-95-1P 846842-95-1P 846842-95-1P 846842-95-1P 846842-95-1P 846842-11-4P 846843-11-4P 84684
                                                             (claimed compound; preparation of pyrimidinones as dipeptidy)
peptidase IV (inhibitors)
                                     844842-48-4 CAPLUS
Benzonitrile, 2-[[2-{(3R)-3-amino-1-piperidinyl}-6-oxo-5-phenyl-1(6H)-pyrimidinyl)methyl)- (9CI) (CA INDEX NAME)
```

R CN

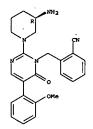
RN 844842-51-9 CAPLUS
CN Benzonitrile,
2-{[2-{(18, -) - minol-piperidiny1}-5-{3-furany1}-6-oxo-1{6H}-pyrimidiny1}methy1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 844842-53-1 CAPLUS
CN Benzonitrile,
2-[[2-{[3R] 3-amino-1-piperidinyl]-5-(2-fluorophenyl)-6-oxo1(6H)-pyrimidinyl]methyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 844842-60-0 CAPLUS
CN Benzonitrile, 2-[[2-[[3R]-3-amino-1-piperidinyl]-5-bromo-4-methyl-6-oxo-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 844842-62-2 CAPLUS
RN Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl)-4-methyl-6-oxo-5-phenyl-1(6H)-pyrimidinyl]methyll- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 844842-56-4 CAPLUS
CN Benzonitrile, 2-[{2-[{3R}}-3-amino-1-piperidinyl]-5-{{1E}}-2-{4-fluorophenyl}ethenyl]-6-oxo-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 844842-58-6 CAPLUS
CN Benzonitrile,
2-[[2-([3R]-3-amino-1-piperidinyl]-5-(2-methoxyphenyl)-6-oxo1(6H)-pyrimidinyl]methyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 844842-64-4 CAPLUS CN Benzonitrile, 2-[[2-([3R]-3-amino-1-piperidiny1]-5-(3-furany1)-4-methy1-6cxo-1(6H)-pyrimidiny1)methy1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 844842-66-6 CAPLUS
CN Benzonitrile,
2-[[2-[(3R)-3-emino-1-piperidinyl]-4-methyl-6-oxo-5-[(1E)-2-phenylethenyl]-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 844842-68-8 CAPLUS
CN Benzonitrile,
2-[[2-[[3R]-3-amino-1-piperidinyl]-4,5-dimethyl-6-oxo-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 844842-70-2 CAPLUS
CN Benzonitrile, 2-[[2-[(]R)-3-amino-1-piperidinyl]-5-ethyl-6-oxo-1(6H)pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued

RN 844842-78-0 CAPLUS
CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-ethynyl-6-oxo-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 844842-79-1 CAPLUS
CN Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-5-chloro-6-oxo-1(6H)pyrimidinyl]methyll- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 844842-80-4 CAPLUS

Habte

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 844842-74-6 CAPLUS
CN Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-5-iodo-6-oxo-1(6H)-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 844842-76-8 CAPLUS
CN Benzonitrile, 2-[{2-{(3R)-3-amino-1-piperidinyl}-5-bromo-6-oxo-1(6H)-pyrimidinyl]methyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzonitrile,
2-[2-([3-(3R)-3-amino-1-piperidinyl]-6-oxo-5-(1-pyrrolidinyl)1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 844842-81-5 CAPLUS
CN Benzonitrile, 2-[(2-[(3R)-3-emino-1-piperidinyl]-5-fluoro-6-oxo-1(6H)-pyrimidinyl]methyl]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 844842-82-6 CAPLUS
CN Benzonitrile, 2-{[2-{(3R}-3-amino-1-piperidiny1]-5-fluoro-6-oxo-1(6H)-pyrimidiny1]methy1}-4-fluoro-(9CI) (CA INDEX NAME)

84484-83-7 CAPLUS
Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-5-chloro-6-oxo-1(6H)-pyrimidinyl]methyl]-4-fluoro- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

844842-84-8 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidiny1]-5-bromo-6-oxo-1(6H)-pyrimidiny1]methy1]-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

t-Bu-c≡c

844642-88-2 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-oxo-5-(1-propynyl)-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 844842-89-3 CAPLUS
CN Benzonitrile,
2-[[2-[[3R] -3-emino-1-piperidinyl]-5-{3-hydroxy-1-propynyl}-6-oxo-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Habte

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

844842-85-9 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-3-methyl-1-piperidinyl]-5-fluoro-6-oxo-1(6H)-pyrimidinyl]methyl]-4-fluoro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

844842-87-1 CAPLUS
Benzonitrile, 2-{[2-{(3R)-3-amino-1-piperidinyl]-5-(3,3-dimethyl-1-butynyl)-6-0x0-1(6H)-pyrimidinyl]methyll- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continue 844842-90-6 CAPLUS Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-oxo-1(6H)-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)

844842-91-7 CAPLUS
Benzonitrile, 2-1[2-1[3R]-3-amino-1-piperidinyl]-5-ethenyl-6-oxo-1(6H)-pyrimidinyl|methyll- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

844842-92-8 CAPLUS
Benzonitrile, 2-1[[2-[(3R)-3-amino-1-piperidinyl]-5-methoxy-6-oxo-1(6H)-pyrimidinyl]methyl; (9CI) (CA INDEX NAME)

RN 844842-93-9 CAPLUS
CN Benzonitrile,
2-[[2-[[3R] -3-emino-1-piperidinyl]-6-oxo-5-[1H-pyrrol-3-yl]1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

844842-94-0 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-fluoro-6-oxo-1(6H)-pyrimidinyl]methyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

844842-97-3 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-oxo-4-phenyl-1(6H)-pyrimidinyl]methyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

844842-98-4 CAPLUS
Benzonitrile, 2-1[2-[(3R)-3-amino-3-methyl-1-piperidinyl]-5-fluoro-6-oxo-1(6H)-pyrimidinyl)methyll- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

844842-99-5 CAPLUS
Benzonitrile, 2-[{2-[{3R}-3-amino-3-methyl-1-piperidinyl]-5-chloro-6-oxo-

Habte

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

844842-95-1 CAPLUS 4(3H)-Pyrimidinone, 2-{(3R}-3-amino-1-piperidiny1}-3-{(2-bromopheny1)methy1}-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

844842-96-2 CAPLUS
Benzonitrile, 2-[[2-{(3R)-3-amino-1-piperidinyl}-6-oxo-5-[{1E})-2-(3-pyridinyl)ethenyl}-1(6H)-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN 1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.

844843-00-1 CAPLUS
Benzonitrile, 2-1[2-[(3R)-3-amino-1-piperidinyl]-5-bromo-4-(1,1-dimethylathyl)-6-oxo-1(6H)-pyrimidinyl|methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

844843-01-2 CAPLUS
Benzontrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-oxo-5-phenyl-1(6H)pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 544842-48-4 CMF C23 H23 N5 O

Absolute stereochemistry.

CRN 76-05-1 CMF C2 H F3 02

RN 844843-02-3 CAPLUS
CN Benzonitrile,
2-{[2-{[3R}, 3-amino-1-piperidinyl]-5-(3-furanyl)-6-oxo-1(6H)-pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CRN 844842-51-9 CMF C21 H21 N5 O2

Absolute stereochemistry.

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

CRN 76-05-1 CMF C2 H F3 O2

844843-04-5 CAPLUS
Benzonitrile, 2-{[2-{(3R)-3-amino-1-piperidinyl}-5-{{1E}-2-(4-fluorophenyl)ethenyl}-6-oxo-1(6H)-pyrimidinyl}methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-56-4 CMF C25 H24 F N5 O

Absolute stereochemistry.
Double bond geometry as shown.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

RN 844843-03-4 CAPLUS
CN Benzonitrile,
2-[[2-[[3R]-3-amino-1-piperidiny1]-5-(2-fluoropheny1)-6-oxo1[6H]-pyrimidiny1]methy1]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-53-1 CMF C23 H22 F N5 O

Absolute stereochemistry

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

CM

CRN 76-05-1 CMF C2 H F3 O2

CO<sub>2</sub>H

RN 84484-06-7 CAPLUS
CN Benzonitrile,
2-[[2-[[3], 3-amino-1-piperidiny1]-5-(2-methoxypheny1)-6-oxo1(6H)-pyrimidiny1)methy1)-, trifluoroacetate (9CI) (CA INDEX NAME)

CRN 844842-58-6 CMF C24 H25 N5 O2

CRN 76-05-1 CMF C2 H F3 O2

844843-08-9 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-bromo-4-methyl-6-oxo-1(6H)-pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CRN 844842-60-0 CMF C18 H20 Br N5 O

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

CRN 76-05-1 CMF C2 H P3 O2

RN 844843-10-3 CAPLUS
CN Benzonitrile,
2-[[2-[[RR]-3-amino-1-piperidinyl]-5-(3-furanyl)-4-methyl-6cxo-1(6H)-pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-64-4 CMF C22 H23 N5 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

Habte

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

2

CRN 76-05-1 CMF C2 H F3 O2

844843-09-0 CAPLUS
Benzonitrile, 2-{{2-{{3R}-3-amino-1-piperidinyl}-4-methyl-6-oxo-5-phenyl-1(6H)-pyrimidinyl}methyl}-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-62-2 CMF C24 H25 N5 O

Absolute stereochemistry.

L4 ANSMER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 844843-11-4 CAPLUS
CN Benzontirile,
2-[(2-[(3R)-3-amino-1-piperidinyl]-4-methyl-6-oxo-5-[(1E)-2-phenylethenyl]-1(6H)-pyrimidinyl]methyl)-, trifluoroacetate (9CI) (CA INDEX RAME)

CM 1

CRN 844842-66-6 CMF C26 H27 N5 O

Absolute stereochemistry.

Double bond geometry as shown.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 844843-12-5 CAPLUS
CN Benzonitrile,
2-[[2-[[3R] -1-amino-1-piperidinyl]-4,5-dimethyl-6-oxo-1(GH)-pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-68-8 CMF C19 H23 N5 O

Absolute stereochemistry.

CRN 76-05-1 CMP C2 H F3 O2

844843-13-6 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-ethyl-6-oxo-1(6H)-pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 844843-15-8 CAPLUS Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-bromo-6-oxo-1(6H)-pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-76-8 CMF C17 H18 Br N5 O

Absolute stereochemistry.

CRN 76-05-1 CMF C2 H F3 O2

844843-16-9 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidiny1]-5-chloro-6-oxo-1(6H)-pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CRN 844842-79-1 CMF C17 H18 C1 N5 O

Absolute stereochemistry.

Habte

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

**CM** 

CRN 76-05-1 CMF C2 H F3 O2

844843-14-7 CAPLUS
Benzonitrile, 2-[{2-{(3R}-3-amino-1-piperidinyl}-5-iodo-6-oxo-1(6H)-pyrimidinyl]methyl}-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-74-6 CMF C17 H18 I N5 O

Absolute stereochemistry.

2

CRN 76-05-1 CMF C2 H F3 O2

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

CRN 76-05-1 CMF C2 H F3 02

RN 844843-17-0 CAPLUS
CN Benzonitrile,
2-[[2-[3R]-3-emino-1-piperidinyl]-6-oxo-5-(1-pyrrolidinyl)1(6H)-pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-80-4 CMF C21 H26 N6 O

Absolute stereochemistry.

СМ

CRN 76-05-1 CMF C2 H F3 O2

844843-19-2 CAPLUS
Benzonitrile, 3-[[2-[(3R)-3-amino-1-piperidinyl]-5-fluoro-6-oxo-1(6H)pyrimidinyl|methyl]-5-fluoro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-81-5 CMF C17 H17 F2 N5 O

Absolute stereochemistry.

2

CRN 76-05-1 CMF C2 H F3 O2 '

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

CRN 76-05-1 CMF C2 H F3 O2

844843-23-8 CAPLUS
Benzonitrile, 2-[{2-[(3R)-3-amino-1-piperidinyl]-5-bromo-6-oxo-1(6H)-pyrimidinyl]methyl]-4-fluoro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-84-8 CMF C17 H17 Br F N5 O

Absolute stereochemistry.

СМ 2

Habte

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 844841-21-6 CAPLUS Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-5-fluoro-6-oxo-1(6H)-pyrimidinyl]methyl]-4-fluoro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-82-6 CMP C17 H17 F2 N5 O

Absolute stereochemistry

CRN 76-05-1 CMF C2 H F3 O2

Absolute stereochemistry.

844843-22-7 CAPLUS
Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-5-chloro-6-oxo-1(6H)-pyrimidinyl]methyl]-4-fluoro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-83-7 CMF C17 H17 C1 F N5 O

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN CRN 76-05-1 CMF C2 H F3 O2 (Continued)

CO2H

84843-24-9 CAPLUS
Benzonitrile, 2-{[2-{(3R)-3-amino-3-methyl-1-piperidinyl]-S-fluoro-6-oxo-1(6H)-pyrimidinyl]methyl]-4-fluoro, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-85-9 CMF C18 H19 F2 N5 O

Absolute stereochemistry.

CRN 76-05-1 CMF C2 H F3 O2

844843-26-1 CAPLUS
Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidiny1]-6-oxo-1(6H)pyrimidiny1]-methyl]-, trifluoroscetate (9CI) (CA INDEX NAME)

CM 1

L4 ANSMER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CRN 844842-90-6
CMF C17 H19 N5 O

Absolute stereochemistry.

CH 2

CRN 76-05-1 CMF C2 H F3 02

P-C-C02H

RN 844843-27-2 CAPLUS
CN Benzonitrile, 2-{[2-{[3R]-3-amino-1-piperidiny1}-5-etheny1-6-oxo-1(6H)-pyrimidiny1]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-91-7 CMF C19 H21 N5 O

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

CRN 76-05-1 CMP C2 H' F3 O2

P-C-CO2H

RN 844843-29-4 CAPLUS
CN Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-5-fluoro-6-oxo-1(6H)-pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-94-0 CMP C17 H18 F N5 O

Absolute stereochemistry.

CM 2

Habte

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

CRN 76-05-1 CMP C2 H F3 O2

RN 844843-28-3 CAPLUS
CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-methoxy-6-oxo-1(6H)-pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

~

CRN 844842-92-8 CMF C18 H21 N5 O2

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CRN 76-05-1
CMF C2 H P3 O2

RN 844843-30-7 CAPLUS

Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-fluoro-6-oxo-1(6H)pyrimidinyl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-94-0 CMF C17 H18 F N5 O

Absolute stereochemistry.

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 844843-31-8 CAPLUS
CN 4(3H)-Pyrimidinone, 2-[(3R)-3-amino-1-piperidinyl)-3-{(2-bromophenyl)methyl]-5-fluoro-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x HCl

844843-32-9 CAPLUS
Benzonitrile, 2-[{2-{(3R)-3-amino-1-piperidinyl}-6-oxo-5-{(1E)-2-(3-pyridinyl)ethenyl}-1(6H)-pyrimidinyl)methyl}-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

●x HC1

844843-33-0 CAPLUS
Benzonitrile, 2-[{2-{(3R)-3-amino-1-piperidinyl}-6-oxo-4-phenyl-1(6H)-pyrimidinyl]methyl}-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

●x HCl

844843-36-3 CAPLUS
Benzonitrile, 2-{[2-{(3R)-3-amino-1-piperidinyl]-5-bromo-4-{1,1-dimethylethyl)-6-oxo-1(6H)-pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844843-00-1 CMF C21 H26 Br N5 O

Absolute stereochemistry

CM 2 76-05-1 C2 H F3 O2

Habte

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

●x HCl

844843-34-1 CAPLUS Benzonitrile, 2-{(2-{(3R)-3-amino-3-methyl-1-piperidinyl]-5-fluoro-6-oxo-16H)-pyrimidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

•x HCl

84484.-35-2 CAPLUS
Benzonitrile, 2-[(2-[(3R)-3-amino-3-methyl-1-piperidinyl]-5-chloro-6-oxo-1(6H)-pyrimidinyl]methyll-, hydrochloride (9Cl) (CA INDEX NAME)

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

844843-44-3P 844843-72-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of pyrimidinones as dipeptidyl peptidase IV inhibitors) 844843-44-3 CAPLUS Benzonitriie, 2-[(2-(3-amino-1-piperidinyl)-6-oxo-5-[(trimethylsilyl)ethynyl)-1(6H)-pyrimidinyl)methyl)- (9CI) (CA INDEX NAME)

844843-72-7 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-oxo-5-[(trimethylsilyl)ethynyl]-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX

Absolute stereochemistry.

REPERENCE COUNT:

THERE ARE 8 CITED REPERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB A variety of small mol., guanidine-containing mols. capable of acting as MC4-R

R
agonists such as I-III [21 = CR4, N: Z2 = CR5, N; Z3 = CR6, N; R1 =
(un)substituted arylalkyl, heteroarylalkyl, aryl, heteroaryl, etc.: R2 =
H, alkyl, aryl, etc.; R3 = H, arylalkyl, aryl, etc.: R4-R6 = H, Cl, I, P,
Br, OH, etc.; W = IV (wherein R11, R12 = H, (un)substituted alkyl, aryl,
etc.; at least one of R11 and R12 is (un)substituted heterocyclylalkyl;
R13 = H, (un)substituted aryl, alkyl, etc.; R14 = H, (un)substituted
alkyl, cycloalkyl, etc.)] are provided. General procedures used in the
synthesis of compds. I-III are described. E.g., a multi-step synthesis

(15,25,35,5R)-V, was given. The exemplified compds. I-III were tested against MC4-R and exhibited -logEC50 values above about 3. The compds. I are useful in treating MC4-R mediated diseases such as obesity and type

diabetes. The pharmaceutical composition comprising the compound I is

disclosed. In pharmaceutical composit IT 817626-63-4P 817627-28-4P 817627-29-5P 817627-30-8P 817627-33-3P 817627-36-4P 817627-41-1P 817627-42-2P 817627-43-3P

B17637-44-49 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of guanidino-substituted quinazolinone compds. as MC4-R agoniata)
817826-63-4 CAPLUS
1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-

dihydro-2-[(35)-3-hydroxy-1-pyrrolidinyl)-4-oxo-7-quinezolinyl]-3-methyl-5-oxo-N'-[(15,25,15,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (35)-(9C1) (CA INDEX NAMS)

Absolute stereochemistry.

Habte

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2004:1156498 CAPLUS DOCUMENT NUMBER: 142:93848

INVENTOR(S)

142:93848
Preparation of guanidino-substituted quinazolinone compounds as MC4-R agonists
Boyce, Rustum S.; Aurrecoechea, Natalia; Chu, Daniel; Smith, Aaron; Comlee, Christopher R.; Thompson, Brian D.; De Armas, Kuntz Judith; Musso, David L.; Barvian, Kevin K.; Thomson, Stephen A.; Swain, William R.; DU, Kien S.; Chauder, Brian A.; Speake, Jason D.; Bishop, Michael J.
Chiron Corporation, USA; Glaxosmithkline
PCT Int. Appl., 277 pp.
CODEN: PIXXD2
Patent

PATENT ASSIGNEE(S): SOURCE:

Patent English

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2004112793 WO 2004112793 W: AE, AG 20041229 20050310 WO 2004-US15959 20040521 A1 B1

BR. BW, EE, EG, KE, KG, MN, MW, SD, SE, VC, VN, SZ, TZ, BG, CH, MC, NL, GN, GO 112793
AE. AG,
CN, CO,
GE, GH,
LK, LR,
NO, NZ,
TJ, TM,
BW, GH,
AZ, BY,
EE, ES,
SI, SK,
SN, TD, B1 AM, AT, CU, CZ, HR, HU, LT, LU, FG, PH, TR, TT, KE, LS, KZ, MD, FR, GB, BF, BJ, 20050310
AU, AZ,
DE, DK,
ID, IL,
LV, MA,
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GR, HU,
CP, CG, BA, BB, DM, DZ, IN, IS, MD, MG, RO, RU, UG, US, NA, SD, TM, AT, IE, IT, CI, CM, BG, EC, JP, MK, SC, UZ, AL, CR, GM, LS, OM, TN, GM, KG, FI, TR, JP, KE, KG,
MK, MN, MW,
SC, SD, SG,
UZ, VC, VN,
SL, SZ, TZ,
BE, BG, CH,
LU, MC, NL,
GA, GN, GQ, MZ, SK, ZA, ZM, CZ, PT, RW:

AU 2004-249120 CA 2004-2523015 US 2004-850967 US 2003-473317P AU 2004249120 CA 2523015 US 2005059662 20041229 20040521 20041229 20040521 20050317 20040521 PRIORITY APPLN. INFO. 20030523

US 2003-523336P P 20031119

US 2003-524492P P 20031124

WO 2004-US15959 W 20040521

OTHER SOURCE(S): MARPAT 142:93848

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

817627-28-4 CAPLUS I-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl]ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-methyl-5-oxo N-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

817627-29-5 CAPLUS
4-Morpholinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-

dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinezolinyl]-2,6-dimethyl-N'-(1R, 28,55)-2,6,6-trimethylbicyclo(3.1.1)hept-3-yl]-, (2R,65)- (9CI) (CA INDEX MAME)

817627-30-8 CAPLUS
4-Morpholinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-N'[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX

Absolute stereochemistry.

RN 817627-35-3 CAPLUS
CN 1-Azetidinecarboximidamide,
N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-hydroxy-N'[{1R,2S,3S,5S}-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

817627-42-2 CAPLUS
1-Azetidinecerboximidamide, N-{3-{2-(2,4-dichlorophenyl)ethyl}-2-(4,4-difluoro-1-piperidinyl)-3,4-dihydro-4-oxo-7-quinazolinyl}-3-hydroxy-N'([3R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX

Absolute stereochemistry.

817627-43-3 CAPLUS
1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-

dihydro-2-[4-(hydroxymethyl)-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-methyl5-oxo-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

817627-36-4 CAPLUS
1-Piperazinecarboximidamide, 4-cyano-N-{3-{2-(2,4-dichlorophenyl)ethyl}-

3,4-dihydro-2-{4-hydroxy-1-piperidinyl}-4-oxo-7-quinazolinyl}-3,5-dimethyl-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3R,5S)-(9CI)

(CA INDEX NAME) Absolute stereochemistry.

817627-41-1 CAPLÚS
1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-2-(4,4-difluoro-1-piperidinyl)-3,4-dihydro-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 817627-44-4 CAPLUS
CN 1-Azetidinecarboximidamide,
N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro2-[4-(hydroxymethyl)-1-piperidinyl]-4-oxo-7-quinezolinyl]-3-hydroxy-N'[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

PORMAT

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2004:857326 CAPLUS DOCUMENT NUMBER: 141:309639 Dispetidyl peptidase inhibitors
Feng, Jun; Gwaltney, Stephen L.; Kaldor, Stephen W.;
Stafford, Jeffrey A.; Wallace, Michael B.; Zhang, TITLE: INVENTOR(S): Stafford, Jeffrey A.; W. Zhiyuan Syrrx, Inc., USA PCT Int. Appl., 244 pp. CODEN: PIXXD2 Patent English PATENT ASSIGNEE (S) : DOCUMENT TYPE: LANGUAGE: PAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION: APPLICATION NO. DATE

PATENT NO. KIND DATE PATENT NO. KIND DATE

MO 2004087053 A2 20041014

W: AE. AG, AL, AM, AT. AU, AZ.
CN. CO, CR. CU, CZ. DE. DK.
GE. GH, GM, HH, UI, ID, IL,
LK, LR, LS. LT, LU, LV, MA,
NO. NZ. OM, PG, PH, PL, PT,
T JJ, TM, TN, TR, TT, TZ, UA,
RM: BM, GM, GM, KE, LS, MM, MZ,
BY, KG, KZ, MD, RU, TJ, TM,
ES, FI, FR, GB, GR, HU, IE,
SK, TR, BP, BJ, CP, CG, CI,
TD, TG
CA 2518465
US 2004242566 A1 20041202
US 2004242566 A1 20041202
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US 2005004117 A1 20050106
EP 1608317 A2 20051228
R: AT, BE, CH, DE, DK, ES, FR,
PRIORITY APPLN. INPO:: WO 2004-US9217 20040324 20041111
AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LV, MA, MD, MG, MK, MM, MM, MK, MZ, NA, NT, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TZ, UA, UG, US, UZ, VC, VN, VY, ZA, ZM, ZM, MM, MZ, NA, BZ, NA, MZ, NA, BZ, NA, BZ, CB, SB, SB, SB, SY, TZ, UA, ZM, ZM, AM, AZ, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DX, EE, UI, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, CA 2004-2518465
US 2004-809636
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GB, GR, IT, LI, LU,
CY, AL, TR, BG, CZ,
US 2003-457785P 20040324 20040324 20040324 20040324 20040324 20040324 20040324 NL, SE, MC, PT EE, HU, PL, SK

WO 2004-US9217 W 20040324

OTHER SOURCE(S):

MARPAT 141:309639

Dipeptidyl peptidase IV inhibitors I (Q = CO, SO, SO2, C:NR5; R1 = ZR6; Z = moiety providing 1-6 atom separation between R6 and ring; R2 =

L4 RN CN

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 769157-56-4 CAPLUS Benzonitrile, 2-([2-(3-amino-1-piperidinyl)-8-methoxy-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

RN CN

769157-57-5 CAPLUS
Benzonitrile, 2-([2-(3-amino-1-piperidinyl)-7-chloro-4-oxo-3(4H)-quinazolinyl|methyl|- (9CI) (CA INDEX NAME)

769157-58-6 CAPLUS
Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-8-chloro-4-oxo-3(4H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)

769157-59-7 CAPLUS

Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-6-fluoro-4-oxo-3(4H)-quinazolinyl)methyl}- (9CI) (CA INDEX NAME)

ANSMER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(substituted)3-7-membered ring; R3, R4 = taken together form a
(substituted)3-7-membered ring; R5 = H, (substituted)alkyl, cycloelkyl,
etc.; R6 = (substituted)C3-7-cycloelkyl or aryl] are disclosed. Thus,
2-12-(3-aminopiperidin-1-yl) -6,7-dimethoxy-4-cox-4H-quinaxolin-3ylmethyl)benzonitrile (I; R1 = 2-cyanophenylmethyl; R2 =
3-aminopiperidin-1-yl; R3, R8 = dimethoxyhenyl) was synthesized. This
compd. exhibited enhanced stability in rst liver microsomes.
769:157-54-2P 769:157-55-3P 769:157-56-4P
769:157-35-79 769:157-55-3P 769:157-55-7P
769:157-60-0P 769:157-65-5P 769:157-55-7P
769:157-63-3P 769:157-65-5P 769:157-63-0P
769:157-81-3P 769:157-79-1P 769:157-80-4P
769:157-84-8P 769:157-39-3P 769:157-80-0P
769:157-84-8P 769:157-83-2P 769:157-80-0P
769:157-81-1P 769:157-83-2P 769:157-83-3P
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769:158-05-6P 769:158-04-5P 769:158-04-5P
769:158-05-6P 769:158-04-5P
7

769157-55-3 CAPLUS Benzonitrile, 2-([2-(3-amino-1-piperidinyl)-6,7-dimethoxy-4-oxo-3(4H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME) RN CN

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 769157-60-0 CAPLUS
CN Benzonitrile,
2-[[2-(3-amino-1-piperidinyl)-6,7-dihydro-7-methyl-6-oxo-1Hpurin-1-yl]methyl]- (9CI) (CA INDEX NAME)

RN 769157-61-1 CAPLUS
CN Benzonitrile,
2-[[2-(3-amino-1-piperidiny1)-6,9-dihydro-9-methyl-6-oxo-1H-purin-1-yl]methyl]- (9CI) (CA INDEX NAME)

769157-62-2 CAPLUS RN

ANSMER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-6,7-dihydro-6-oxo-1H-purin-1yl]methyl]- (9CI) (CA INDEX NAME)

769157-63-3 CAPLUS
Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl)-6-chloro-4-oxo-3(4H)-quinazolinyl]methyl)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

RN 769157-65-5 CAPLUS
CN Benzonitrile,
2-[12-(18]-(3R)-3-emino-1-piperidinyl]-7-fluoro-6-methoxy-4-oxo3(4H)-quinazolinyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX .
NAME)

CRN 769157-64-4 CMF C22 H22 F N5 O2

Absolute stereochemistry.

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN `(Continued)

CM 2

CRN 76-05-1 CMP C2 H F3 O2

769157-69-9 CAPLUS
Benzonitrile, 2-[[6-[[3R]-3-amino-1-piperidinyl]-1,4-dihydro-1-methyl-4cxo-5H-pyrazolo[3,4-d]pyrimidin-5-yl]methyl]-, mono(trifluoroacetate)
(9C1) (CA INDEX NAME)

CM 1

CRN 769157-68-8 CMF C19 H21 N7 O

Absolute stereochemistry.

Habte

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

2

76-05-1 C2 H P3 O2

RN 769157-67-7 CAPLUS
CN Benzonitrile,
2-[23-[138]-3-amino-1-piperidinyl]-6-methoxy-4-oxopyrido[3,4-d]pyrimidin-3(4H)-yl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 769157-66-6 CMF C21 H22 N6 O2

Absolute stereochemistry.

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

769157-71-3 CAPLUS Benzonitrile, 2-[{2-[(3R)-3-amino-1-piperidinyl]-5-fluoro-4-oxo-3 (4H)-quinazolinyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 769157-70-2 CMF C21 H20 F N5 O

Absolute stereochemistry.

CM

769157-73-5 CAPLUS
Benzonitrile, 2-[[5-[(3R)-3-amino-1-piperidinyl]-1,7-dihydro-1-methyl-7xxx-64H-1,3-triazolo[4,5-d]pyrimidin-6-yl]methyl)-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) CRN 769157-72-4 CMF C18 H20 N8 O

Absolute stereochemistry.

CM 2 CRN 76-05-1 CMF C2 H F3 O2

RN 769157-77-9 CAPLUS
CN Benzonitrile,
2.[[2-[(3R]-3-amino-1-piperidinyl]-5,6,7,8-tetrahydro-4-oxo-3(4H)-quinazolinyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 769157-76-8 CMF C21 H25 N5 O

Absolute stereochemistry.

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CRN 76-05-1 CMF C2 H F3 O2

RN 769157-80-4 CAPLUS
CN Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-4-oxo-6-(1-pyrrolidinyl)pyrido(3,4-d)pyrimidin-3(4H)-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 769157-81-5 CAPLUS
CN 4(3H)-Quinazolinone, 2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-3-[(2-(trifluoromethyl)phenyl)methyl]- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

## Habte

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

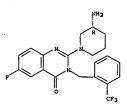
CRN 76-05-1 CMF C2 H F3 O2

RN 769157-79-1 CAPLUS
CN Benzonitrile,
2-[23-[183-3-amino-1-piperidinyl]-6-chloro-4-oxopyrido[3,4-d]pyrimidin-3(4H)-yl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)
CM 1

Absolute stereochemistry.

CRN 769157-78-0 CMF C20 H19 C1 N6 O

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 769157-82-6 CAPLUS
CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6,7-dihydro-7-(1-methylethyl)-6-oxo-lH-purin-1-yllmethyll- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 769157-83-7 CAPLUS
CN Benzonitrile,
2-[[2-(3-aminohexahydro-1H-azepin-1-y1)-6,7-dihydro-6-oxo-1H-purin-1-y1]methyl]- (9CI) (CA INDEX NAME)

RN 769157-84-8 CAPLUS
CN Benzonitrile; 2-[(2-((3R)-3-amino-1-piperidinyl)-6,7-dihydro-6-oxo-7(phenylmethyl)-1H-purin-1-yl)methyl)- (9C1) (CA INDEX NAME)

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN Absolute stereochemistry. (Continued)

769157-85-9 CAPLUS
Benzonitrile, 2,2'-[[2-((3R)-3-amino-1-piperidinyl]-6-oxo-1H-purine-1,9(6H)-diyl]bis(methylene)]bis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

769157-86-0 CAPLUS
Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-6,9-dihydro-6-oxo-9-propyl-1H-purin-1-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

769157-89-3 CAPLUS
Benzonitrile, 2-[{2-{(3R)-3-amino-1-piperidinyl}-6-bromo-4-oxo-3(4H)-quinazolinyl]methyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

769157-91-7 CAPLUS Benzonitrile, 2-[[2-[(3R)-3-amino-1-pyrrolidinyl]-6-bromo-4-oxo-3 (4H)-quinazolinyl]methyl]-, mono(trifluoroscetate) (9CI) (CA INDEX NAME)

CRN 769157-90-6 CMF C20 H18 Br N5 O

Absolute stereochemistry.

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

769157-87-1 CAPLUS
Benzonitrile, 2-[{2-[(3R)-3-amino-1-piperidinyl]-6,7-dihydro-6-oxo-7-propyl-1H-purin-1-yl|methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

769157-88-2 CAPLUS
Benzonttrile, 2-[{2-[(3R)-3-amino-1-piperidinyl]-6,9-dihydro-9-(1-methylethyl)-6-oxo-8-(trifluoromethyl)-1H-purin-1-yl]methyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CRN 76-05-1 CMF C2 H P3 O2

RN 769157-92-8 CAPLUS
CN Benzonitrile,
2-[[2-[[3R]-3-amino-1-piperidinyl]-6,8-dichloro-4-oxo-3(4H)quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

769157-93-9 CAPLUS Benzonitrile, 2-1[2-[(3R)-3-emino-1-piperidinyl]-6-methoxy-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAMS)

769157-94-0 CAPLUS Benzamide, 2-([2-([3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

769157-95-1 CAPLUS
Benzonitrile, 2-[[2-[(]R)-3-amino-1-piperidinyl]-6-fluoro-7-(4-morpholinyl)-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Benzoic acid, 2-[[2-{(3R)-3-amino-1-piperidinyl}-6-fluoro-4-oxo-3(4H)-quinazolinyl}methyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 769158-04-5 CAPLUS
CN Benzoic acid,
2-[[2-[[3] 3-amino-1-piperidiny1]-6,7-dimethoxy-4-oxo-3(4H)quinazoliny1]methy1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

769158-05-6 CAPLUS
Benzolc acid, 3-[[3-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

769158-01-2 CAPLUS 4(3H)-Quinazolinone, 2-(3-emino-1-piperidinyl)-6,7-dimethoxy-3-[(2-nitrophenyl)methyl)- (9Cl) (CA INDEX NAME)

769158-02-3 CAPLUS

787130-1-1 CAPADS
CN Benzoic acid,
2-[(2-[(3R)-3-amino-1-piperidinyl)-6,7-dimethoxy-4-oxo-3(4H)-quinazolinyl]methyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

769158-03-4 CAPLUS

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

769158-06-7 CAPLUS
Benzonitrile, 2-{(6,7-dimethoxy-4-oxo-2-(1-piperidinyl)-3(4H)-quinazolinyl]methyll-(9CI) (CA INDEX NAME)

769158-14-7 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidiny1]-6-fluoro-4-oxo-3 (4H)-quinzoliny1]methyll- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT, 2006 ACS ON STN ACCESSION NUMBER: 2004:211993 CAPLUS DOCUMENT NUMBER: 140:264510

DOCUMENT NUMBER:

140:264510

4-Oxo-quinazoline agonist ligands for the liver X nuclear receptor and their use in treatment of disorders of lipid metabolism (Rober, Ingo, Albers, Michael; Koegl, Manfred; Blume, Beatrix; Deuschle, Ulrich; Kremoser, Claus Phenex Pharmaceuticals A.-G., Germany Eur. Pat. Appl., 85 pp. CODEN: EPXXDW Patent English TITLE

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC, NUM. COUNT: PATENT INFORMATION:

PAT	PATENT NO.					D	DATE	:		APPL	DATE							
						-									-	<b></b> -		
EP 1398032					A1 20040317				EP 2003-20417							20030910		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	PR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE.	SI,	LT.	LV,	PI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	sĸ		
EP 1407774					A1		2004	0414		EP 2	002-	2025	5		2	0020	910	
	R:	AT.	BE.	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT.	LV,	PI,	RO,	MK,	CY,	AL,	TR,	BG,	cz,	EE,	sĸ			
TORITY	APP															0020	910	

OTHER SOURCE(S): MARPAT 140:264510
AB 4-0xo-quinazoline ligands for liver X receptors (LXR receptors, LXRA/NR1 H3 and LXRbeta/NR1H2) acting as selective agonists of the receptor are described. The invention further relates to the treatment

diseases and/or conditions through binding of said nuclear receptors and selective agonistic effects by said compds. and the production of

medicaments
using said compds. In particular the compds. and the production or medicaments
using said compds. In particular the compds. are useful in the treatment of hypercholesteremia, obesity or other diseases associated with elevated lipoprotein (LDL) levels. Reporter gene methods of screening for effective agonists of the receptor are described.

167121-18-4
RL: THU (Therapeutic use): BIOL (Biological study); USES (Uses)
(as liver X receptor agonist; 4-oxo-quinazoline agonist ligands for liver X nuclear receptor and their use in treatment of disorders of lipid metabolism)

RN 67121-18-4 (APPLUS
CN 4-Piperidinecarboxylic acid, 1-[3,4-dihydro-4-oxo-3-(2-phenylethyl)-2-quinazolinyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 7
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:
AUTHOR(S):

CAPLUS COPYRIGHT 2006 ACS on STN
2002:543605 CAPLUS
138:106649
Solid-phase synthesis of quinazolin-4(3H)-ones with three-point diversity
Kesarwani, A. P.; Srivastava, G. K.; Rastogi, S. K.; Kundu, B. Kesarwani, A. P.; Srivastava, G. K.; Rastogi, S. K.; Kundu, B. Medicinal Chemistry Division, Central Drug Research Institute, Lucknow, 226 001, India Tetrahedron Letters (2002), 43(32), 5579-5581 CODEN: TELEAY; ISSN: 0040-4039 Eleevier Science Ltd. Journal

CORPORATE SOURCE:

SOURCE:

DUBLISHED

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI English CASREACT 138:106649

A versatile method for the solid-phase synthesis of differentially substituted quinazolin-4(3H)-ones I (R1 = Et, Ph, PhCH2; R2 = Bu, R3 =  $\frac{1}{2}$ 

Me;

R2RJN = N-methylpiperazino, 4-benzylpiperidino, morpholino; R4 = R5 = H,
RRR5 = CH:CKCH:CH) was developed using immobilized arylguanidines. The
latter were obtained by treating the amino group of polymer-linked
aminoaryl amide with isothiocyanates RINCS followed by coupling of
resulting thioureas with secondary amines RINHR4. Under mild acidic
conditions, these immobilized arylguanidines underwent
cyclization/polymer
matrix cleavage to give I in high yields and purities.

1T 485402-04-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of (amino)quinaxolinones with three points of
diversity from aminoaryl carboxylic acids, isothiocyanates, and
secondary amines)
485402-04-8 CPPLUS
CN 4(3H)-Quinaxolinone, 3-(phenylmethyl)-2-[4-(phenylmethyl)-1-piperidinyl](SCI) (CA INDEX NAME)

Habte

ANSWER 6 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:

14 THERE ARE 14 CITED REFERENCES AVAILABLE POR

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RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
REPERENCE COUNT: 15 THERE ARE 15 CITED REPERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

G1 0, S

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:03:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 373 TO ITERATE

100.0% PROCESSED 373 ITERATIONS

6 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 6302 TO 8618

PROJECTED ANSWERS: 6 TO 266

L2 6 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 14:03:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 7483 TO ITERATE

100.0% PROCESSED 7483 ITERATIONS 119 ANSWERS

SEARCH TIME: 00.00.01

L3 119 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION

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=> s 13

L4 2 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2005:135412 CAPLUS DOCUMENT NUMBER: 142:240442 Preparation of pyrimidinones as dipeptidyl peptidase IV (DPP-IV) inhibitors Peng, Jun; Gwaltney, Stephen L., II; Kaldor, Stephen W.; Stafford, Jeffrey A.; Wallace, Michael B.; Zhang, TITLE: INVENTOR(S): eniyuan Syrrx, Inc., USA Eur. Pat. Appl., 102 pp. CODEN: EPXXDW Patent PATENT ASSIGNEE(S): SOURCE: DOCIMENT TYPE English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE EP 2004-254864 EP 1506967 A1 20050216 EP 2004-254864 20040812 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, FL, SK, Al 20050224 AU 2004-265341 AA 20050224 WO 2004-2535619 AI 30050224 WO 2004-US36365 AM, AT, AU, AZ, BA, BB, BG, BM, BY, CU, CZ, DE, DK, DM, DZ, BC, EE, ED, BS, HR, HU, ID, IL, IN, IS, JP, KB, KG, RY, LT, LU, LV, MA, MD, MG, MK, NN, MM, MX, PO, PH, PF, RO, RU, SC, SD, SE, SG, TR, TT, TZ, UA, UG, US, UZ, VC, VI, VI, KE, LS, MM, MZ, NN, SD, SL, SZ, TZ, UG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, BF, BJ, CP, CG, C1, CM, GA, GM, GO, GW, 20040812 20040812 US 2004-918318 US 2004-917955 US 2004-918186 US 2004-918317 US 2004-918326 US 2004-918327 JP 2004-263071 US 2003-495238P 20050324 20050331 20050331 20050331 20050331 20050407 20050310 20040812 20040812 20040812 20040812

ANSMER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Benzonitrile, 2-[(2-[(3R) -3 -amino-1-piperidinyl] -6-oxo-5-phenyl-1(6H)pyrimidinyl|methyl) (9Cl) (CA INDEX NAME)

MARPAT 142:240442

WO 2004-US26265

W 20040812

Absolute stereochemistry.

OTHER SOURCE(S):

844842-51-9 CAPLUS NN 544642-51-9 CAPUDS
CN Benzontrile,
2-[[2-[(3R)-3-amino-1-piperidinyl]-5-(3-furanyl)-6-oxo-1(6H)pyrimidinyl|methyl|- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

844842-53-1 CAPLUS CN Benzonitrile, 2-[[2-[(3R)-3-emino-1-piperidinyl]-5-(2-fluorophenyl)-6-oxo-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Title compds. [I; Q = CO, SO, SO2, C:NR4; Z = halo, perhaloalkyl, amino, cyano, (substituted) alkyl, cycloalkyl, aryl, heteroaryl, alkylcarbonyl, etc.; R2, R3 = H, halo, perhaloalkyl, amino, cyano, NO2, SH, articuted) (substituted)

stituted)
alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aralkyl,
heteroarylalkyl, aryl, heteroaryl, etc.; R4 = H, (substituted) alkyl,
cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl,
bicycloaryl, heterobicycloaryl; L = 0-6 atom linker; X = OH,
stituted) (substituted)

cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, bicycloaryl, heterobicycloaryl; L = 0-6 atom linker; X = OH, bicycloaryl, heterobicycloaryl; L = 0-6 atom linker; X = OH, bicycloaryl, heterobicycloaryl, alkylcarbonyl, alkylthiocarbonyl, alkylsulfinyl, aryl, heteroaryl, alkoxy, aryloxy, heteroaryloxy, alkenyl, alkynyl, etc.], were prepared Thus, 2 (5-bromo-2-chloro-6-oxo-6H-pyrimidin-1-ylmethyl)henxonitrile (preparation given), (R)-3-aminopiperidine dihydrochloride, and NAHCO3 were stirred together for 90 min. in EtOH to give 62% 2-(2-(3-aminopiperidin-1-yl)-5-bromo-6-oxo-6H-pyrimidin-1-ylmethyl)henxonitrile. I inhibited DPP-IV with Ki = 10-9 M to 10-5 M. B46842-8-4P 84842-51-5P 84482-60-0P 84482-60-0P 84482-8-5-6P 84482-60-0P 84482-70-2P 84482-70-

(claimed compound; preparation of pyrimidinones as dipeptidyl peptidase IV (nibitions)
RN 844842-48-4 CAPLUS

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

84484-56-4 CAPLUS Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-5-[(1E)-2-(4-fluozophenyl)-thenyl]-6-oxo-1(6H)-pyrimidinyl]methyl]- (9C1)

Absolute stereochemistry.
Double bond geometry as shown.

844842-58-6 CAPLUS CN Benzonitrile, 2-(12-(13R)-3-mino-1-piperidinyl)-5-(2-methoxyphenyl)-6-oxo-1(6H)-pyrimidinyl|methyl)- (9CI) (CA INDEX NAME)

844842-60-0 CAPLUS
Benzonitrile, 2-[{2-{(3R)-3-amino-1-piperidinyl}-5-bromo-4-methyl-6-oxo-1(6H)-pyrimidinyl}methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

844842-62-2 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl)-4-methyl-6-oxo-5-phenyl-1(6H)-pyrimidinyl}methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 844842-68-8 CAPLUS
CN Benzontrile,
2-[[3-([3R] -]-amino-1-piperidinyl]-4,5-dimethyl-6-oxo-1(6H)pyrimidinyl]methyl|- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

844842-70-2 CAPLUS
Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl)-5-ethyl-6-oxo-1(6H)-pyrimidinyl)methyll- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

RN 844842-64-4 CAPLUS
CN Benzonitrile,
2-[(2-{[38.3-amino-1-piperidinyl]-5-(3-furanyl)-4-methyl-6cxo-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 844842-66-6 CAPLUS
CN Benzonitrile,
2-[{2-[{18}-3-amino-1-piperidiny1]-4-methy1-6-oxo-5-({1E}-2-phenyletheny1}-1(6H)-pyrimidiny1]methy1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

844842-74-6 CAPLUS
Benzonitrile, 2-1[2-[(3R)-3-emino-1-piperidinyl]-5-iodo-6-oxo-1(6H)pyrimidinyl|methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

844842-76-8 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-bromo-6-oxo-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

844842-78-0 CAPLUS 04/24/2006 L4 ANSMER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzonitrile, 2-[[2-[(SR)-3-amino-1-piperidinyl]-5-ethynyl-6-oxo-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 844842-79-1 CAPLUS
CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-chloro-6-oxo-1(6H)-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 844842-80-4 CAPLUS
CN Benzonitrile,
2-[[2-[(3R) -3-amino-1-piperidinyl]-6-oxo-5-(1-pyrrolidinyl)1(6H)-pyrimidinyl]methyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 844842-83-7 CAPLUS
CN Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl)-5-chloro-6-oxo-1(6H)-pyrimidinyl)methyl]-4-fluoro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 844842-84-8 CAPLUS
CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-bromo-6-oxo-1(6H)-pyrimidinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 844842-85-9 CAPLUS

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A ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 844842-81-5 CAPLUS
CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-fluoro-6-oxo-1(6H)pyrimidinyl]methyl]-5-fluoro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 844842-82-6 CAPLUS
CN Benzonitrile, 2-{{2-{(3R)-3-amino-1-piperidiny1}-5-fluoro-6-oxo-1(6H)-pyrimidiny1}methy1]-4-fluoro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzonitrile, 2-[(3R)-3-amino-3-methyl-1-piperidinyl]-5-fluoro-6-oxo1(6H)-pyrimidinyl]methyl]-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 844842-87-1 CAPLUS
CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-(3,3-dimethyl-1-butynyl)-6-oxo-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 844842-88-2 CAPLUS

Benzonitrile, 2-{[2-(3R)-3-amino-1-piperidiny1]-6-oxo-5-(1-propyny1)-1(6H)-pyrimidiny1]methyll- (9CI) (CA INDEX NAME)

RN 844842-89-3 CAPLUS
CN Benzonitrile,
2-[[2-[(3R)-3-amino-1-piperidinyl]-5-(3-hydroxy-1-propynyl)-6-oxo-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

844842-90-6 CAPLUS
Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-6-oxo-1(6H)-pyrimidinyl)methyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 844842-93-9 CAPLUS CN Benzonitrile, 2-[[2-[[38]-3-amino-1-piperidinyl]-6-oxo-5-(1H-pyrrol-3-y1)-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

844842-94-0 CAPLUS
Benzonitrile, 2-[[2-([3R)-3-amino-1-piperidinyl]-5-fluoro-6-oxo-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

844842-91-7 CAPLUS Benzönitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-5-ethenyl-6-oxo-1(6H)-pyriaddinyl]methyll- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

844842-92-8 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-methoxy-6-oxo-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

844842-95-1 CAPLUS 4(3H)-Pyrimidinone, 2-[(3R)-3-amino-1-piperidinyl]-3-[(2-bromophenyl)methyl]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

844842-96-2 CAPLUS
Benzonitrile, 2-1[2-[(3R)-3-amino-1-piperidinyl)-6-oxo-5-[(1E)-2-(3-pyridinyl)ethenyl)-1(6H)-pyrimidinyl)methyl)- (SCI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

844842-97-3 CAPLUS
Benzontrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-6-oxo-4-phenyl-1(6H)pyrionidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

844842-98-4 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-3-methyl-1-piperidinyl]-5-fluoro-6-oxo-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

844843-01-2 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-oxo-5-phenyl-1(6H)pyrimidinyllmethyll-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-48-4 CMF C23 H23 N5 O

Absolute stereochemistry.

2

RN 844843-02-3 CAPLUS
CN Benzonitrile,
2-[[2-[[3R] -3-smino-1-piperidinyl]-5-(3-furanyl)-6-oxo-1(6H)pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-51-9 CMF C21 H21 N5 O2

Absolute stereochemistry.

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

844842-99-5 CAPLUS
Benzonitrile, 2-[[2-{(3R)-3-amino-3-methyl-1-piperidinyl]-5-chloro-6-oxo-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

844843-00-1 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-bromo-4-(1,1-dimethylethyl)-6-oxo-1(6H)-pyrimidinyl]methyl; (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN. 844843-03-4 CAPLUS
CN Benzonitrile,
2-[[2-([RN-3-amino-1-piperidinyl]-5-(2-fluorophenyl)-6-oxo1(6H)-pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-53-1 CMF C23 H22 F N5 O

CRN 76-05-1 CMF C2 H F3 O2

844843-04-5 CAPLAUS
Benzonitrile, 2-{[2-{(3R)-3-amino-1-piperidiny1}-5-[(1E)-2-(4-fluoropheny1)etheny1]-6-oxo-1(6H)-pyrimidiny1]methy1]-, trifluoroscetate
(9C1) (CA INDEX NAME)

CM 1

CRN 844842-56-4 CMF C25 H24 F N5 O

Absolute stereochemistry.
Double bond geometry as shown.

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

844843-08-9 CAPLUS
Benzonitrile, 2-[{2-[(3R)-3-amino-1-piperidinyl}-5-bromo-4-methyl-6-oxo-1(6H)-pyrimidinyl)methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-60-0 CMP C18 H20 Br N5 O

Absolute stereochemistry.

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ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)

2 CM

76-05-1 C2 H F3 O2

CO2H

RN 844843-06-7 CAPLUS
CN Benzonitrile,
2-[[2-([3R)-3-amino-1-piperidinyl]-5-(2-methoxyphenyl)-6-oxo1(6H)-pyrimidinyl]methyl}-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-58-6 CMF C24 H25 N5 O2

Absolute stereochemistry.

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

844843-09-0 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-4-methyl-6-oxo-5-phenyl-1(6H)-pyrimidinyl]methyll-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-62-2 CMF C24 H25 N5 O

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CO<sub>2</sub>H

RN 844843-10-3 CAPLUS
CN Benzonitrile,
2-[[2-[[3R], 3-amino-1-piperidiny1]-5-[3-furany1)-4-methy1-6oxo-1(6H)-pyrimidiny1]methy1]-, trifluoroacetate [9CI] (CA INDEX NAME)

CH 1

CRN 844842-64-4 CMF C22 H23 N5 O2

Absolute stereochemistry.

CRN 76-05-1 CMF C2 H F3 O2

RN 844843-11-4 CAPLUS
CN Benzonitrile,
2-[[2-[[3R]-3-amino-1-piperidiny1]-4-methy1-6-oxo-5-[(1E)-2-phenylethenyl]-1(6H)-pyrimidiny1]methy1]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-66-6 CMF C26 H27 N5 O

Absolute stereochemistry.
Double bond geometry as shown.

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

CRN 76-05-1 CMF C2 H F3 O2

844843-13-6 CAPLUS
Benzonitrile, 2-[[2-{(3R)-3-amino-1-piperidinyl]-5-ethyl-6-oxo-1(6H)-pyrimidinyl]methyl}-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-70-2 CMF C19 H23 N5 O

Absolute stereochemistry.

CM 2

Habte

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

RN 844843-12-5 CAPLUS
CN Benzonitrile,
2-[[2-[[3R] -1-amino-1-piperidiny1]-4,5-dimethy1-6-oxo-1(6H)-pyrimidiny1]methy1]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-68-8 CMF C19 H23 N5 O

Absolute stereochemistry.

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN CRN 76-05-1 CMF C2 H F3 O2

844843-14-7 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-iodo-6-oxo-1(6H)-pyrimidinyl]methyll-, trifluoroacetate (9CI) (CA INDEX NAME)

CRN 844842-74-6 CMF C17 H18 I N5 O

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMP C2 H F3 O2

844843-15-8 CAPLUS
Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-5-bromo-6-oxo-1(6H)-pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-76-8 CMF C17 H18 Br N5 O

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) Absolute stereochemistry.

CRN 76-05-1 CMF C2 H F3 02

844843-16-9 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-chloro-6-oxo-1(6H)-pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-79-1 CMF C17 H18 C1 N5 O

Absolute stereochemistry.

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

2

CRN 76-05-1 CMF C2 H F3 O2

844843-19-2 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidiny]]-5-fluoro-6-oxo-1(6H)pyrimidinyl]methyl]-5-fluoro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-81-5 CMF C17 H17 F2 N5 O

Absolute stereochemistry.

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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CRN 76-05-1 CMF C2 H F3 O2

RN 844843-17-0 CAPLUS
CN Benzonitrile,
2-{[2-{(18, -3-amino-1-piperidiny1}-6-oxo-5-(1-pyrrolidiny1)-16H)-pyrimidiny1}methy1}-, trifluoroacetate (9CI) (CA INDEX NAME)

CRN 844842-80-4 CMF C21 H26 N6 O

Absolute stereochemistry

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

CRN 76-05-1 CMF C2 H F3 O2

844843-21-6 CAPLUS
Benzonitrile, 2-[[2-{(3R)-3-amino-1-piperidinyl]-5-fluoro-6-oxo-1(6H)-pyrimidinyl]methyl]-4-fluoro-, trifluoroacetate (9CI) (CA INDEX NAME)

СМ

CRN 844842-82-6 CMF C17 H17 F2 N5 O

Absolute stereochemistry.

2

CRN 76-05-1 CMF C2 H F3 O2

844843-22-7 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-5-chloro-6-oxo-1(6H)-pyrimidinyl]methyl]-4-fluoro-, trifluoroacetate (9CI) (CA INDEX NAME)

CRN 844842-83-7 CMP C17 H17 C1 P N5 O

Absolute stereochemistry.

2

76-05-1 C2 H F3 O2

844843-23-8 CAPLUS
Benzonitrile, 2-{[2-{(3R)-3-amino-1-piperidinyl]-5-bromo-6-oxo-1(6H)-pyrimidinyl)methyl}-4-fluoro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-84-8 CMF C17 H17 Br F N5 O

Absolute stereochemistry.

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

ÇМ 2

CRN 76-05-1 CMF C2 H F3 O2

844843-26-1 CAPLUS
Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-6-oxo-1(6H)pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX RAME)

CM 1

CRN 844842-90-6 CMP C17 H19 N5 O

Absolute stereochemistry.

CRN 76-05-1

Habte

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

844843-24-9 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-3-methyl-1-piperidinyl]-5-fluoro-6-oxo
1(6H)-pyrimidinyl]methyl]-4-fluoro-, trifluoroacetate (9CI) (CA INDEX
NAME)

CM 1

CRN 844842-85-9 CMF C18 H19 F2 N5 O

Absolute stereochemistry.

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN CMP C2 H F3 O2

844843-27-2 CAPLUS
Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-5-ethenyl-6-oxo-1(6H)-pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CM

CRN 76-05-1 CMP C2 H F3 O2

844843-28-3 CAPLUS
Benzonitrile, 2-[[2-{{3R}}-3-amino-1-piperidinyl]-5-methoxy-6-oxo-1(6H)-pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CRN 844842-92-8 CMP C18 H21 N5 O2

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) Absolute stereochemistry.

2

CRN 76-05-1 CMF C2 H F3 02

844843-29-4 CAPLUS
Benzonitrile, 2-{[2-{(3R)-3-amino-1-piperidinyl}-5-fluoro-6-oxo-1(6H)-pyrimidinyl]methyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CRN 844842-94-0 CMF C17 H18 F N5 O

Absolute stereochemistry

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

Absolute stereochemistry.

844843-31-8 CAPLUS 4(3H)-Pyrimidinone, 2-[(3R)-3-amino-1-piperidinyl]-3-[(2-bromophenyl)methyl]-5-fluoro-, hydrochloride (9CI) (CA INDEX NAME)

844843-32-9 CAPLUS Benzonitrile, 2-[(2-{(3R)-3-amino-1-piperidinyl)-6-oxo-5-[(1E)-2-(3-

Habte

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

2

CRN 76-05-1 CMF C2 H F3 O2

844843-30-7 CAPLUS
Benzonitrile, 2-[{2-[{3R}}-3-amino-1-piperidinyl}-5-fluoro-6-oxo-1(6H)-pyrimidinyl]methyl]-, (2R, 3R)-2,3-dihydroxybutanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 844842-94-0 CMF C17 H18 F N5 O

Absolute stereochemistry.

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) pyridinyllethenyll-1(6H)-pyrimidinyllmethyll-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

844843-33-0 CAPLUS
Benzonitrile, 2-[{2-[(3R)-3-amino-1-piperidinyl]-6-oxo-4-phenyl-1(6H)-pyrimidinyl]methyl}-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x HCl

844843-34-1 CAPLUS Beronterial 2-([2-[(3R)-3-amino-3-methyl-1-piperidinyl)-5-fluoro-6-oxo-16H)-pyrimidinyl|methyl]-, hydrochloride (SCI) (CA INDEX NAME)

●x HCl

844843-35-2 CAPLUS
Benzonitrile, 2-[12-[(3R)-3-amino-3-methyl-1-piperidinyl)-5-chloro-6-oxo1(6H)-pyrimidinyl|methyl1-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Ov HC1

844843-36-3 CAPLUS
Benzonitrile, 2-[{2-{(3R)-3-amino-1-piperidinyl}-5-bromo-4-{1,1-dimethylethyl)-6-oxo-1(6H)-pyrimidinyl]methyl}-, trifluoroacetate (9CI) (CA INDEX NAME)

844843-00-1 C21 H26 Br N5 O

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

844843-72-7 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-oxo-5-(trimethylsilyl)-ethynyl]-1(6H)-pyrimidinyl]methyl]- (9CI) (CA INDEX

Absolute stereochemistry. .

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

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ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM. 2

76-05-1 C2 H F3 O2

844843-44-3P 844843-72-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of pyrimidinones as dipeptidyl peptidase IV inhibitors) 844843-44-3 CAPLUS Benzonitrite, 2-[(2-(3-amino-1-piperidinyl)-6-oxo-5-[(trimethylsilyl)ethynyl)-1(6H)-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
111:309639
Dipeptidyl peptidase inhibitors
INVENTOR(S):
Feng. Jun; Gwaltney, Stephen L.; Kaldor, Stephen W.;
Stafford, Jeffrey A.; Wallace, Michael B.; Zhang,
Zhiyuan
PATENT ASSIGNEE(S):
Syrxx, Inc., USA
SOURCE:
CODEN: PIXXD2
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WO 2004087053 A2 20041014 WC 2004-US9217 20040324
WO 2004087053 C2 20041111
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BN, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MM, MM, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZM
RW: BM, GH, GM, KE, LS, MM, MZ, DS, LS, SZ, TZ, UG, ZM, ZM, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR, BF, BJ, CP, CG, CI, CM, GA, GM, ML, MR, NL, MR, SN,
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CA 2518465 AA 20041014 CA 2004-2518465 20040324
US 2004242566 A1 20041202 US 2004-809636 20040324
US 2004242566 A1 20041202 US 2004-809636 20040324
US 2004242566 A1 20041202 US 2004-809636 20040324
US 20042442566 A1 20041203 US 2004-809635 20040324
US 2005004117 A1 20055106 US 2004-809635 20040324
EP 1608317 A2 20051228 EP 2004-758366 20040324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, TT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK
PRIORITY APPLN. INFO: 

\*\*NO 2004-1558217 M 20040324 PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2004-US9217 W 20040324

OTHER SOURCE(S): MARPAT 141:309639

Dipeptidyl peptidase IV inhibitors I [Q = CO, SO, SO2, C:NR5; R1 = ZR6; Z = moiety providing 1-6 atom separation between R6 and ring; R2 = (substituted)3-7-membered ring; R3,R4 = taken together form a (substituted)5-6-membered ring; R5 = H, (substituted)alkyl, cycloalkyl, etc.; R6 = (substituted)23-7-cycloalkyl or aryl) are disclosed. Thus, 2-(2-(3-aminopiperidin-1-yl)-6,7-dimethoxy-4-oxo-4H-quinazolin-3-

N-CH2

RN 769157-55-3 CAPLUS
CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-6,7-dimethoxy-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

RN 769157-56-4 CAPLUS
CN Benzonitrile, 2-[{2-(3-amino-1-piperidinyl)-8-methoxy-4-oxo-3(4H)quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 769157-60-0 CAPLUS CN Benzonitrile, 2-[[2-(3-mino-1-piperidinyl)-6,7-dihydro-7-methyl-6-oxo-1Hpurin-1-yl]methyl]- (SCI) (CA INDEX NAME)

RN 769157-61-1 CAPLUS
CN Benzonitrile,
2-[[2-(3-amino-1-piperidinyl)-6,9-dihydro-9-methyl-6-oxo-1H-purin-1-yl]methyl]- (9CI) (CA INDEX NAME)

RN 769157-62-2 CAPLUS

Habte

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 769157-57-5 CAPLUS
CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-7-chloro-4-oxo-3(4H)-quinazolinyl)methyll- (9CI) (CA INDEX NAME)

RN 769157-58-6 CAPLUS
CN Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-8-chloro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

RN 769157-59-7 CAPLUS
CN Benzonitrile, 2-[(2-(3-emino-1-piperidinyl)-6-fluoro-4-oxo-3(4H)-quinazolinyllmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzonitrile, 2-[[2-(3-mino-1-piperidinyl)-6,7-dihydro-6-oxo-1H-purin-1-yl]methyll-9c1 (CA INDEX NAME)

RN 769157-63-3 CAPLUS
CN Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-6-chloro-4-oxo-3(4H)-quinazolinyl|methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 769157-65-5 CAPLUS
CN Benzonitrile,
2-([2-[(3R)-3-emino-1-piperidinyl]-7-fluoro-6-methoxy-4-oxo-3(4H)-quinazolinyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 769157-64-4 CMF C22 H22 F N5 02

Absolute stereochemistry.

RN 769157-67-7 CAPLUS
CN Benzonitrile,
2-[{2-{(3R)-3-amino-1-piperidinyl}-6-methoxy-4-oxopyrido{3,4-dlpyrimidin-3{(4H)-yl}methyl}-, mono(trifluoroacetate) {9CI} (CA INDEX NAME)

CH 1

CRN 769157-66-6 CMP C21 H22 N6 O2

Absolute stereochemistry.

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

CM 2

769157-71-3 CAPLUS Benzonitrile, 2-1[2-[(3R)-3-amino-1-piperidinyl)-5-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 769157-70-2 CMF C21 H20 F N5 O

Absolute stereochemistry.

Habte

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

769157-69-9 CAPLUS
Benzonitrile, 2-[{6-[(3R)-3-amino-1-piperidinyl}-1,4-dihydro-1-methyl-4-oxo-5H-pyrazolo[3,4-d]pyrimidin-5-yl}methyl}-, mono(trifluoroacetate)
(9CI) (CA INDEX NAME)

CM 1

CRN 769157-68-8 CMF C19 H21 N7 O

Absolute stereochemistry.

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN CRN  $\,$  76-05-1 CMF  $\,$  C2 H F3 O2

CRN 769157-72-4 CMF C18 H20 N8 O

Absolute stereochemistry

RN 769157-77-9 CAPLUS
CN Benzonitrile,
2-[2-[43R]-3-emino-1-piperidinyl]-5,6,7,8-tetrahydro-4-oxo3(4H)-quinazolinyl]methyl)-, mono(trifluoroacetate) (9CI)
NAME)

CRN 769157-76-8 CMP C21 H25 N5 O

Absolute stereochemistry

CRN 76-05-1 CMF C2 H F3 02

RN 769157-79-1 CAPLUS
CN Benzonitrile,
2-[12-(13R)-3-amino-1-piperidinyl]-6-chloro-4-oxopyrido[3,4-d]pyrimidin-3(4H)-yl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 769157-78-0 CMF C20 H19 C1 N6 O

Absolute stereochemistry.

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.

769157-82-6 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6,7-dihydro-7-(1-methylethyl)-6-oxo-1H-purin-1-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 769157-83-7 CAPLUS

Benzonitrile.
2-[[2-(3-aminohexahydro-1H-azepin-1-y1)-6,7-dihydro-6-oxo-1H-purin-1-y1]methyl]- (9CI) (CA INDEX NAME)

RN 769157-84-8 CAPLUS
CN Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidiny1]-6,7-dihydro-6-oxo-7-

Habte

LA ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

76-05-1 C2 H F3 O2

769157-80-4 CAPLUS
Benzonitrile, 2-[{2-{(3R)-3-amino-1-piperidinyl}-4-oxo-6-(1-pyrrolidinyl)pyrido[3,4-d]pyrimidin-3{4H}-yl}methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

769157-81-5 CAPLUS
4(3H)-Quinazolinone, 2-{(3R)-3-amino-1-piperidinyl]-6-fluoro-3-{{2-(trifluoromethyl)phenyl}methyl}- (9CI) (CA INDEX NAME)

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) (phenylmethyl)-1H-purin-1-yl]methyl]- (9CI) (CA INDEX NAME)

olute stereochemistry.

769157-85-9 CAPLUS Benzonitrile, 2,2'-[(2-{(3R)-3-emino-1-piperidinyl]-6-oxo-1H-purine-1,9(6H)-diyl)bie(methylene)]bie-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

769157-86-0 - CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6,9-dihydro-6-oxo-9-propyl-lH-purin-1-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 2 CAPLUS 'COPYRIGHT 2006 ACS on STN (Continued)
769157-87-1 CAPLUS
Benzonitrile, 2-[{2-{(3R)-3-amino-1-piperidinyl}-6,7-dihydro-6-oxo-7-propyl-1H-purin-1-yl}methyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

769157-88-2 CAPLUS
Benzonitrile, 2-[[2-[(]R)-3-amino-1-piperidinyl]-6.9-dihydro-9-(1-methylathyl)-6-oxo-8-(trifluoromethyl)-1H-purin-1-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

769157-89-3 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-bromo-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

(Continued)

L4 ANSMER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (CRN 769157-92-8 CAPLUS CN Benzonitrile, 2-{[2-{[43], 3-amino-1-piperidinyl}-6,8-dichloro-4-oxo-3(4H)-quinazolinyl|methyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

769157-93-9 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidiny1]-6-methoxy-4-oxo-3(4H)-quinazoliny1]methy1)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

769157-94-0 CAPLUS Benzamide, 2-[(2-((3R)-3-amino-1-piperidinyl)-6-fluoro-4-oxo-3(4H)-quinazolinyl)methyll- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

769157-91-7 CAPLUS Benzonitrile, 2-[[2-[(3R)-3-amino-1-pyrrolidinyl]-6-bromo-4-oxo-3(4H)-quinazolinyl]methyll-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 769157-90-6 CMF C20 H18 Br N5 O

Absolute stereochemistry.

СМ - 2

CRN 76-05-1 CMF C2 H F3 02

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

769157-95-1 CAPLUS
Berontirile, 2-[(2-[(3R)-3-amino-1-piperidinyl)-6-fluoro-7-(4-morpholinyl)-4-oxo-3(4H)-quinazolinyl)methyll- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

769158-01-2 CAPLUS 4(3H)-Quinazolinone, 2-{3-amino-1-piperidinyl}-6,7-dimethoxy-3-{{2-itrophenyl}methyl}- (9CI) (CA\_INDEX\_NAME)

RN 769150-02-3 CAPLUS
CN Benzoic acid,
2-[[2-[(3R)-3-amino-1-piperidinyl]-6,7-dimethoxy-4-oxo-3(4H)quinazolinyl]methyl)-, ethyl eater (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 769158-03-4 CAPLUS
CN Benzoic acid, 2-[[2-{(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 769158-04-5 CAPLUS
CN Benzoic acid,
2-[[2-[[3R]-3-amino-1-piperidinyl]-6,7-dimethoxy-4-oxo-3(4H)quinazolinyl]methyl]- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued

RN 769158-05-6 CAPLUS
CN Benzoic acid, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 769158-14-7 CAPLUS
CN Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)